

10/580,140

=> d ibib abs hitstr 1-2

THE ESTIMATED COST FOR THIS REQUEST IS 11.28 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1169030 CAPLUS

DOCUMENT NUMBER: 149:493846

TITLE: 5-N-Methylated Quindoline Derivatives as Telomeric G-Quadruplex Stabilizing Ligands: Effects of 5-N Positive Charge on Quadruplex Binding Affinity and Cell Proliferation

AUTHOR(S): Lu, Yu-Jing; Ou, Tian-Miao; Tan, Jia-Heng; Hou, Jin-Qiang; Shao, Wei-Yan; Peng, Dan; Sun, Ning; Wang, Xiao-Dong; Wu, Wei-Bin; Bu, Xian-Zhang; Huang, Zhi-Shu; Ma, Dik-Lung; Wong, Kwok-Yin; Gu, Lian-Quan

CORPORATE SOURCE: School of Pharmaceutical Sciences, Sun Yat-sen University, Guangzhou, 510080, Peop. Rep. China

SOURCE: Journal of Medicinal Chemistry (2008), 51(20), 6381-6392

CODEN: JMCMAR; ISSN: 0022-2623

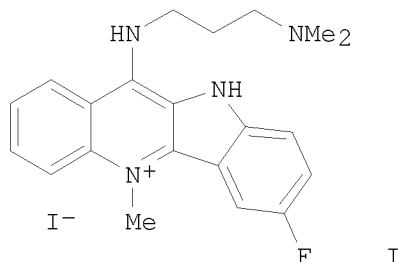
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:493846

GI



AB A series of 5-N-Me quindoline (cryptolepine) derivs. as telomeric quadruplex ligands was synthesized and evaluated. The designed ligands possess a pos. charge at the 5-N position of the aromatic quindoline scaffold. The quadruplex binding of these compds. was evaluated by CD (CD) spectroscopy, fluorescence resonance energy transfer (FRET) melting assay, polymerase chain reaction (PCR) stop assay, NMR (NMR), and mol. modeling studies. Introduction of a pos. charge not only significantly improved the binding ability but also induced the selectivity toward antiparallel quadruplex, whereas the nonmethylated derivs. tended to stabilize hybrid-type quadruplexes. NMR and mol. modeling studies revealed that the ligands stacked on the external G-quartets and the pos. charged 5-N atom could contribute to the stabilizing ability. Long-term exposure of human cancer cells to I showed a remarkable cessation in population growth and cellular senescence phenotype and accompanied by a shortening of the telomere length.

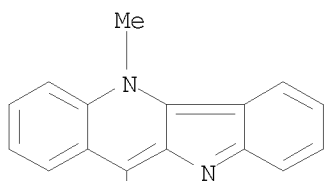
IT 1072837-74-1P 1072837-78-5P 1072837-81-0P
1072837-83-2P 1072837-99-0P 1072838-00-6P
1072838-01-7P 1072838-02-8P 1072838-03-9P
1072838-04-0P 1072838-05-1P 1072838-06-2P

10/580,140

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and evaluation of cryptolepine derivs.)

RN 1072837-74-1 CAPLUS

CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-(5-methyl-5H-quindolin-11-yl)-, hydriodide (1:1) (CA INDEX NAME)

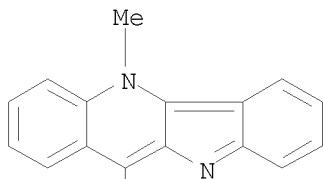


Me₂N-CH₂-CH₂-NH

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RN 1072837-78-5 CAPLUS

CN 1,3-Propanediamine, N1,N1-dimethyl-N3-(5-methyl-5H-quindolin-11-yl)-, hydriodide (1:1) (CA INDEX NAME)

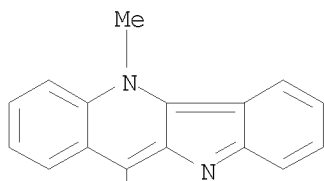


Me₂N-(CH₂)₃-NH

● HI

RN 1072837-81-0 CAPLUS

CN 1,2-Ethanediamine, N1,N1-diethyl-N2-(5-methyl-5H-quindolin-11-yl)-, hydriodide (1:1) (CA INDEX NAME)

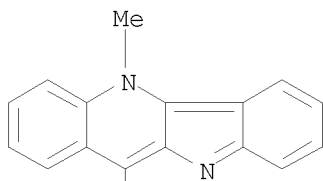


Et₂N-CH₂-CH₂-NH

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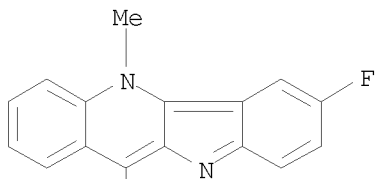
RN 1072837-83-2 CAPLUS
CN 1,3-Propanediamine, N1,N1-diethyl-N3-(5-methyl-5H-quindolin-11-yl)-,
hydriodide (1:1) (CA INDEX NAME)



Et₂N-(CH₂)₃-NH

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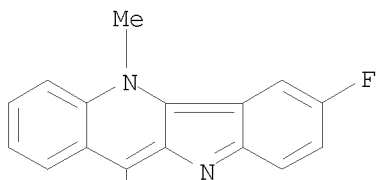
RN 1072837-99-0 CAPLUS
CN 1,2-Ethanediamine, N2-(7-fluoro-5-methyl-5H-quindolin-11-yl)-N1,N1-
dimethyl-, hydriodide (1:1) (CA INDEX NAME)



Me₂N-CH₂-CH₂-NH

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RN 1072838-00-6 CAPLUS
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dimethyl-, hydriodide (1:1) (CA INDEX NAME)

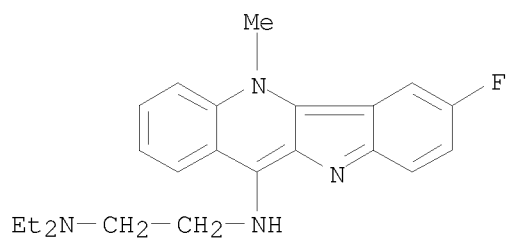


Me₂N-(CH₂)₃-NH

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RN 1072838-01-7 CAPLUS
CN 1,2-Ethanediamine, N1,N1-diethyl-N2-(7-fluoro-5-methyl-5H-quindolin-11-yl)-
, hydriodide (1:1) (CA INDEX NAME)

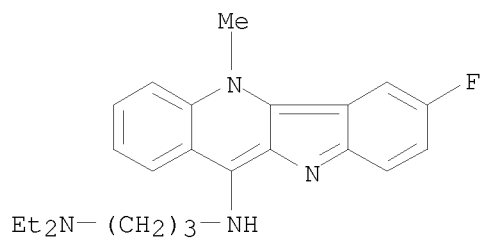
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RN 1072838-02-8 CAPLUS

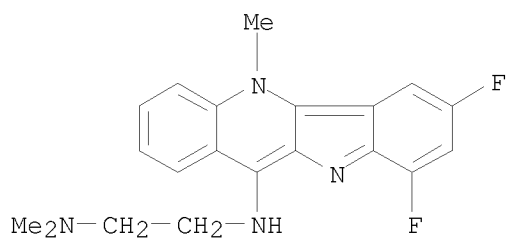
CN 1,3-Propanediamine, N1,N1-diethyl-N3-(7-fluoro-5-methyl-5H-quindolin-11-yl)-, hydriodide (1:1) (CA INDEX NAME)



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RN 1072838-03-9 CAPLUS

CN 1,2-Ethanediamine, N2-(7,9-difluoro-5-methyl-5H-quindolin-11-yl)-N1,N1-dimethyl-, hydriodide (1:1) (CA INDEX NAME)

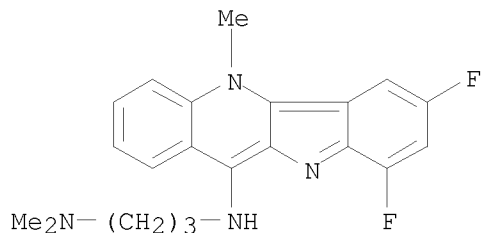


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RN 1072838-04-0 CAPLUS

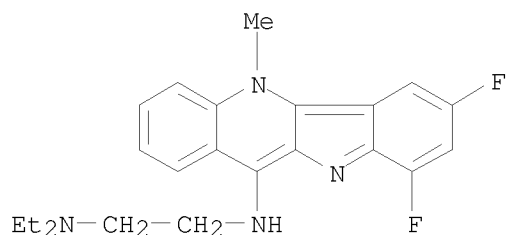
CN 1,3-Propanediamine, N3-(7,9-difluoro-5-methyl-5H-quindolin-11-yl)-N1,N1-dimethyl-, hydriodide (1:1) (CA INDEX NAME)

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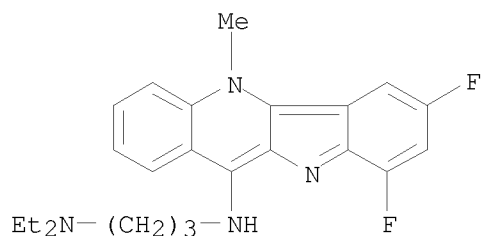
● HI

RN 1072838-05-1 CAPLUS
CN 1,2-Ethanediamine, N2-(7,9-difluoro-5-methyl-5H-quindolin-11-yl)-N1,N1-diethyl-, hydriodide (1:1) (CA INDEX NAME)



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RN 1072838-06-2 CAPLUS
CN 1,3-Propanediamine, N3-(7,9-difluoro-5-methyl-5H-quindolin-11-yl)-N1,N1-diethyl-, hydriodide (1:1) (CA INDEX NAME)



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REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:523449 CAPLUS
DOCUMENT NUMBER: 143:59844
TITLE: A preparation of antitumor quinoline derivatives

INVENTOR(S): Aymami Bofarull, Juan; Coll Capella, Miquel; Llebaria Soldevila, Amadeo; Navarro Munoz, Isabel
 PATENT ASSIGNEE(S): Crystax Pharmaceuticals S.L., Spain; Consejo Superior de Investigaciones Cientificas; Universitat Politecnica de Catalunya
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2005054236 | A1 | 20050616 | WO 2004-EP13106 | 20041118 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1687304 | A1 | 20060809 | EP 2004-797988 | 20041118 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | |
| JP 2007511573 | T | 20070510 | JP 2006-540327 | 20041118 |
| US 20070105784 | A1 | 20070510 | US 2006-580140 | 20060519 |
| PRIORITY APPLN. INFO.: | | | ES 2003-2821 | A 20031120 |
| | | | WO 2004-EP13106 | W 20041118 |
| OTHER SOURCE(S): | MARPAT 143:59844 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

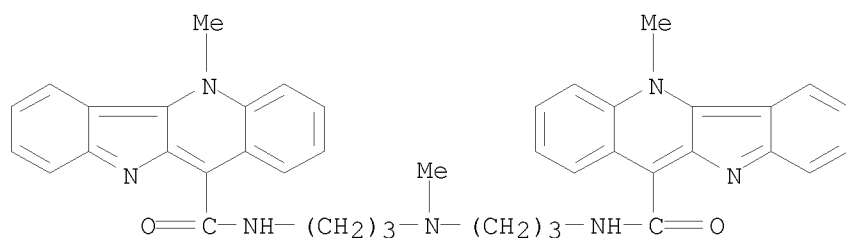
AB The invention relates to a preparation of antitumor quinoline derivs. of formula G1-L-G2 [wherein: G1 is quinoline derivative, L is a single bond or a linking radical; G2 is H, quinoline derivative, or C-9 radical of acridine, etc.]. The invention compds. are intercalators, compds. that bind between DNA base pairs. The in vitro cytotoxicity of the compds. was evaluated by colorimetric assays with tetrazole salts on Jurkat clone E6-1 and on GLC-4, human leukemia and carcinoma cell lines. For instance, indoloquinoline derivative I (Jurkat clone E6-1, IC50 = 1.42 μ M) was prepared via amidation of 10H-indolo[3,2-b]-1-carboxylic acid by carbamic acid derivative II, decarboxylation of the obtained amide, and subsequent amidation of 9-acridinecarboxylic acid by the obtained amine III (yields: 1st amidation - 70%, decarboxylation - 92%, 2nd amidation - 50%).

IT 854190-47-9P 854190-53-7P 854190-56-0P
 854190-70-8P 854190-76-4P 854190-81-1P
 854190-92-4P 854190-96-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of antitumor quinoline derivs.)

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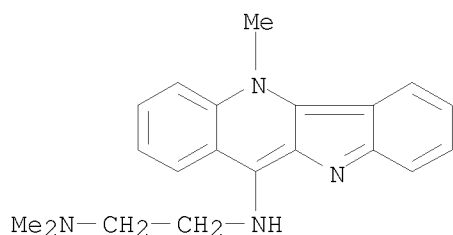
RN 854190-47-9 CAPLUS

CN 5H-Quindoline-11-carboxamide, N,N'-[(methylimino)di-3,1-propanediyl]bis[5-methyl- (9CI) (CA INDEX NAME)



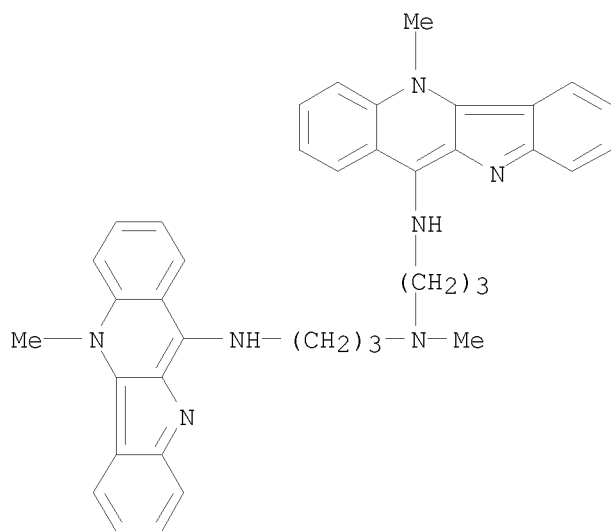
RN 854190-53-7 CAPLUS

CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-(5-methyl-5H-quindolin-11-yl)- (CA INDEX NAME)



RN 854190-56-0 CAPLUS

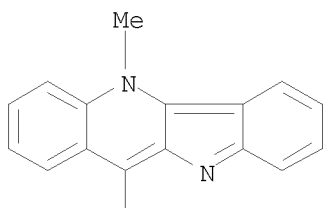
CN 1,3-Propanediamine, N-methyl-N'-(5-methyl-5H-quindolin-11-yl)-N-[3-[(5-methyl-5H-quindolin-11-yl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 854190-70-8 CAPLUS

CN 1,3-Propanediamine, N1,N1-dimethyl-N3-(5-methyl-5H-quindolin-11-yl)- (CA INDEX NAME)

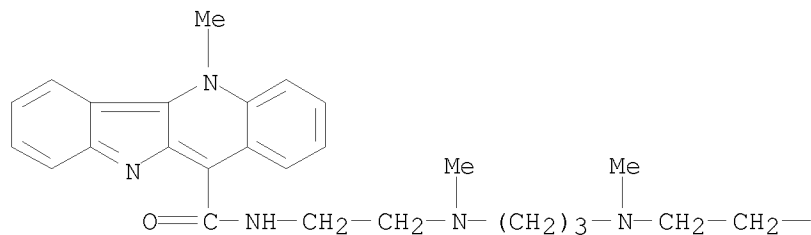
10/580,140



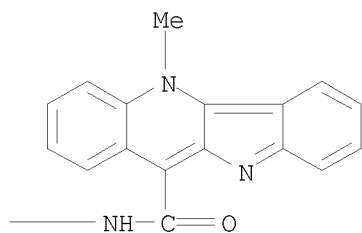
RN 854190-76-4 CAPLUS

CN 5H-Quindoline-11-carboxamide, N,N'-[1,3-propanediylbis[(methyylimino)-2,1-ethanediyl]]bis[5-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



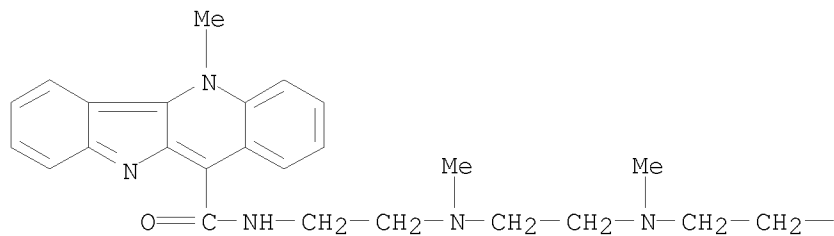
PAGE 1-B



RN 854190-81-1 CAPLUS

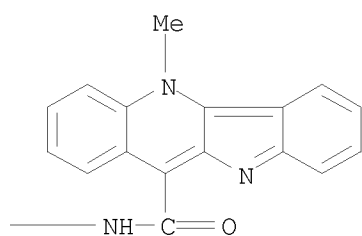
CN 5H-Quindoline-11-carboxamide, N,N'-[1,2-ethanediylbis[(methyylimino)-2,1-ethanediyl]]bis[5-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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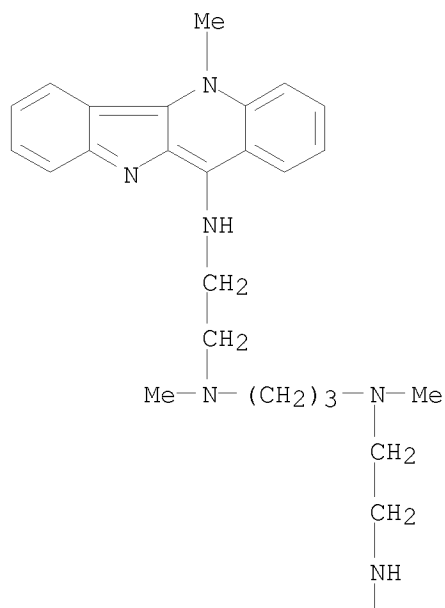
PAGE 1-B



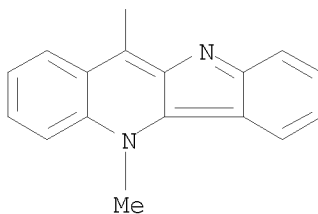
RN 854190-92-4 CAPLUS

CN 1,3-Propanediamine, N,N'-dimethyl-N,N'-bis[2-[(5-methyl-5H-quindolin-11-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



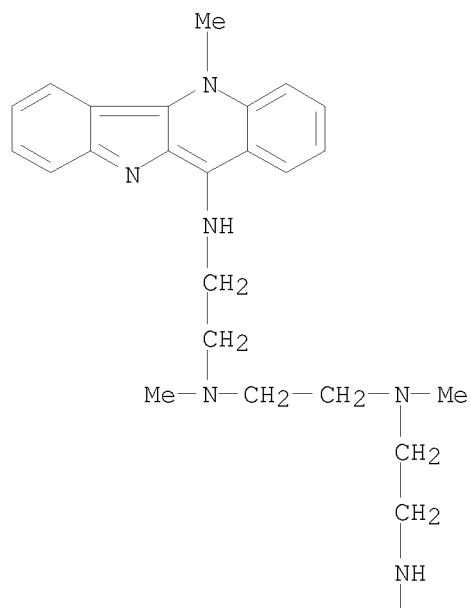
RN 854190-96-8 CAPLUS

CN 1,2-Ethanediamine, N,N'-dimethyl-N,N'-bis[2-[(5-methyl-5H-quindolin-11-

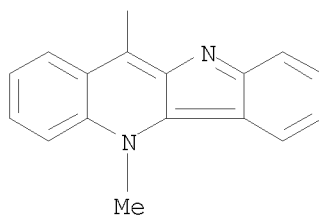
10/580,140

yl)amino]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

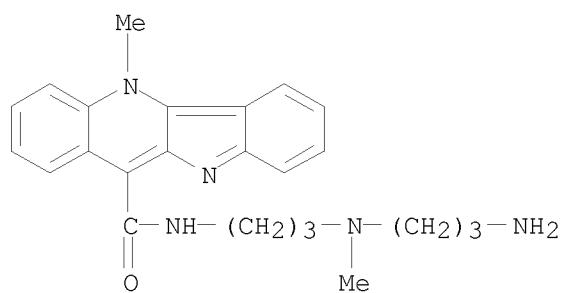


PAGE 2-A



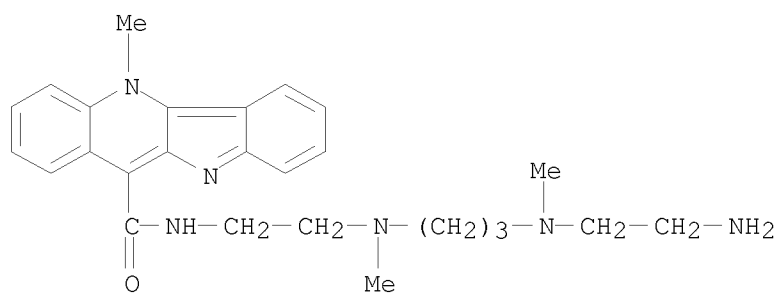
IT 854190-49-1 854190-79-7 854190-83-3
854190-94-6 854190-98-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of antitumor quinoline derivs.)
RN 854190-49-1 CAPLUS
CN 5H-Quindoline-11-carboxamide, N-[3-[(3-aminopropyl)methylamino]propyl]-5-
methyl- (CA INDEX NAME)

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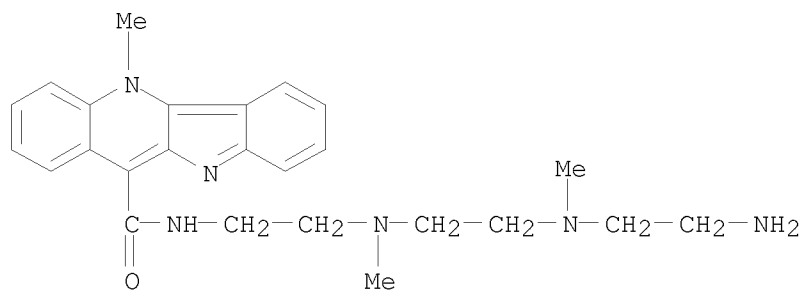
RN 854190-79-7 CAPLUS

CN 5H-Quindoline-11-carboxamide, N-[2-[[3-[(2-aminoethyl)methylamino]propyl]methylamino]ethyl]-5-methyl- (CA INDEX NAME)



RN 854190-83-3 CAPLUS

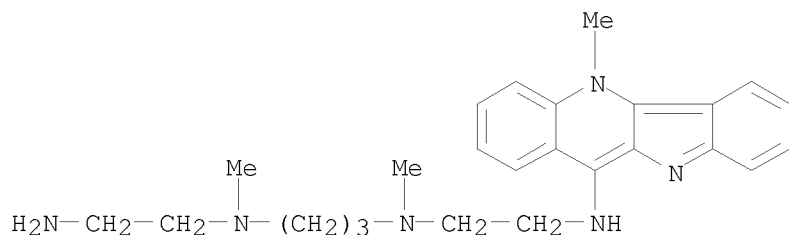
CN 5H-Quindoline-11-carboxamide, N-[2-[[2-[(2-aminoethyl)methylamino]ethyl]methylamino]ethyl]-5-methyl- (CA INDEX NAME)



RN 854190-94-6 CAPLUS

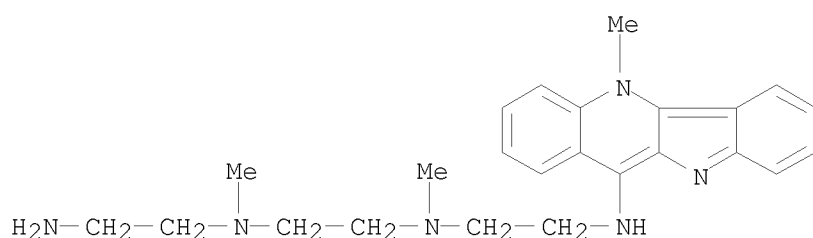
CN 1,3-Propanediamine, N1-(2-aminoethyl)-N1,N3-dimethyl-N3-[2-[(5-methyl-5H-quindolin-11-yl)amino]ethyl]- (CA INDEX NAME)

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RN 854190-98-0 CAPLUS

CN 1,2-Ethanediamine, N1-(2-aminoethyl)-N1,N2-dimethyl-N2-[2-[(5-methyl-5H-quindolin-11-yl)amino]ethyl]- (CA INDEX NAME)

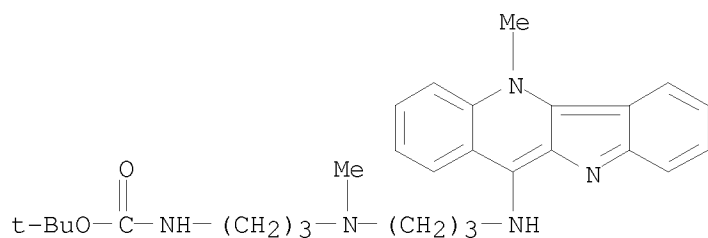


IT 854190-58-2P 854190-60-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antitumor quinoline derivs.)

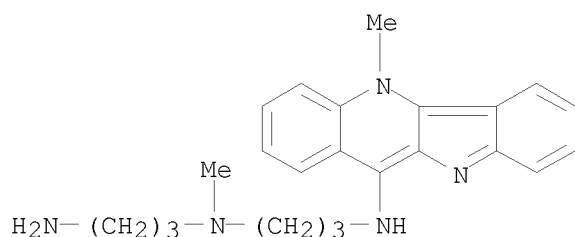
RN 854190-58-2 CAPLUS

CN Carbamic acid, [3-[methyl[3-[(5-methyl-5H-quindolin-11-yl)amino]propyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 854190-60-6 CAPLUS

CN 1,3-Propanediamine, N1-(3-aminopropyl)-N1-methyl-N3-(5-methyl-5H-quindolin-11-yl)- (CA INDEX NAME)



10/580,140

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 11:14:59 ON 26 JUN 2009)

FILE 'REGISTRY' ENTERED AT 11:15:33 ON 26 JUN 2009

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 37 S L1 FULL

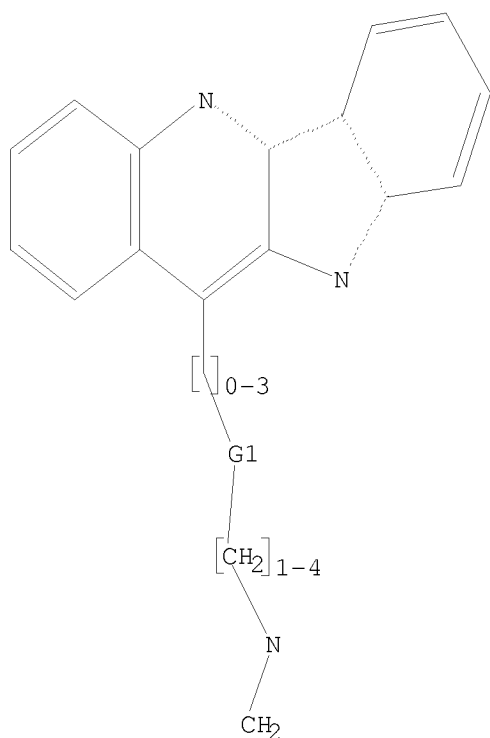
FILE 'CAPLUS' ENTERED AT 11:16:11 ON 26 JUN 2009

L4 2 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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